

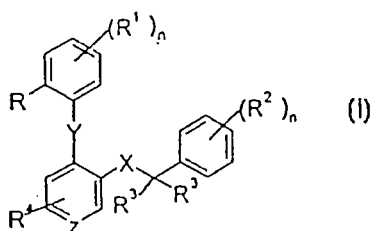


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(21) International Application Number: PCT/EP00/01224 (22) International Filing Date: 15 February 2000 (15.02.00) (30) Priority Data: 99103502.3 24 February 1999 (24.02.99) EP (71) Applicant: F. HOFFMANN-LA ROCHE AG [CH/CH]; Grenzacherstrasse 124, CH-4070 Basel (CH). (72) Inventors: BOES, Michael; 3550 Jeanne Mance, App. E 1906, Montreal, Quebec H2X 3P7 (CA). GALLEY, Guido; Katzenbuckweg 14, D-79618 Rheinfelden (DE). GODEL, Thierry; Mittlere Strasse 7, CH-4056 Basel (CH). HOFFMANN, Torsten; Muttenerstrasse 71, CH-4127 Birsfelden (CH). HUNKELER, Walter; Im Stigler 32, CH-4312 Magden (CH). SCHNIEDER, Patrick; Stallenrain 7, CH-4104 Oberwil (CH). STADLER, Heinz; Waldhofstrasse 37, CH-4310 Rheinfelden (CH). (74) Agent: POPPE, Regina; Grenzacherstrasse 124, CH-4070 Basel (CH).	(81) Designated States: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, ARIPPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG). Published <i>Without international search report and to be republished upon receipt of that report.</i>  *162162*  *G00002*	

(54) Title: **PHENYL- AND PYRIDINYL DERIVATIVES**

(57) Abstract

The invention relates to compounds of general formula (I), wherein R is hydrogen, lower alkyl, lower alkoxy, halogen or trifluoromethyl; R¹ is hydrogen or halogen; or R and R¹ may be together -CH=CH-CH=CH-; R² is hydrogen, halogen, trifluoromethyl, lower alkoxy or cyano; R³ is independently from each other hydrogen, lower alkyl or form a cycloalkyl group; R⁴ is hydrogen, halogen, lower alkyl, lower alkoxy, -N(R⁵)₂, -N(R⁵)S(O)₂-lower alkyl, -N(R⁵)C(O)R⁵ or a cyclic tertiary amine of the group (a); R⁵ is, independently from each other, hydrogen, C₂₋₆-cycloalkyl, benzyl or lower alkyl; R⁶ is hydrogen, hydroxy, lower alkyl, -N(R⁵)CO-lower alkyl, hydroxy-lower alkyl, cyano, -CHO or a 5- or 6 membered heterocyclic group, optionally bonded via an alkylene group, X is -C(O)N(R⁵)-, -(CH₂)_nO-, -(CH₂)_mN(R⁵)-, -N(R⁵)C(O)-, C(O)O- or -N(R⁵)(CH₂)_n-; Y is -(CH₂)_n-, -O-, -S-, SO₂-, -C(O)- or -N(R⁵)-; Z is -N-, -CH= or -C(Cl)-; n is 0 - 4; and, is 1 or 2; and to pharmaceutically acceptable acid addition salts thereof. It has been shown that the compounds of formula (I) have a high affinity to the NK-1 receptor.